EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2808	514/456	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/01/30 13:21
L2	70	l1 and "prostate cancer".clm.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/01/30 14:34
L3	3338	"vitamin E".clm.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/01/30 14:34
L4	. 2350	"tocopherol".clm.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/01/30 14:34
L5	5224	13 or 14	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/01/30 14:34
L6	46	I5 and "prostate cancer".clm.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/01/30 14:52
L7	14	l1 and "thompson".in.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/01/30 14:53
L8	1	l1 and "wilding".in.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/01/30 14:53

FILE 'HOME' ENTERED AT 11:59:27 ON 30 JAN 2007

=> file registry

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 11:59:45 ON 30 JAN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 29 JAN 2007 HIGHEST RN 918776-45-1 DICTIONARY FILE UPDATES: 29 JAN 2007 HIGHEST RN 918776-45-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>

Uploading C:\Program Files\Stnexp\Queries\10789835 genus.str

12

chain nodes :

11 12 13 14 15 16 17 18 19 20

ring nodes :

1 .2 3 4 5 6 7 8 9 10

chain bonds :

1-14 2-13 3-12 4-11 8-15 8-16 9-17 9-18 10-19 10-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

exact/norm bonds :

1-14 2-13 3-12 4-11 5-7 6-10 7-8 8-9 8-15 8-16 9-10 9-17 9-18 10-19 10-20

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

=> d 11 .

L1 HAS NO ANSWERS

L1 STR

$$\begin{array}{c|c} G1 \\ G1 \\ G1 \\ G1 \\ G1 \\ G1 \end{array}$$

G1 Me, Et, n-Pr, i-Pr

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 12:00:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3625 TO ITERATE

55.2% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) .

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 68889 TO 76111 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 12:00:25 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 72298 TO ITERATE

100.0% PROCESSED 72298 ITERATIONS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> s l1 sss full

FULL SEARCH INITIATED 12:03:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 72298 TO ITERATE

100.0% PROCESSED 72298 ITERATIONS

0 ANSWERS

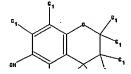
0 ANSWERS

SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\10789835 genus2.str



chain nodes :

11 12 13 14 15 16 17 18 19 20

ring nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

1-14 2-13 3-12 4-11 8-15 8-16 9-17 9-18 10-19 10-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

exact/norm bonds::

1-14 2-13 3-12 4-11 5-7 6-10 7-8 8-9 8-15 8-16 9-10 9-17 9-18 10-19

10-20

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:CH3,Et,n-Pr,i-Pr,H

ALLON FOR H

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

19:CLASS 20:CLASS

=> d 15

L5

L5 HAS NO ANSWERS

L5 STR

G1 Me, Et, n-Pr, i-Pr, H

Structure attributes must be viewed using STN Express query preparation.

=> s 15 full

FULL SEARCH INITIATED 12:06:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 639558 TO ITERATE

100.0% PROCESSED 639558 ITERATIONS

900 ANSWERS

SEARCH TIME: 00.00.03

L6 900 SEA SSS FUL L5

=> file medline, caplus, wpids, uspatfull

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

520.35 520.56

FILE 'MEDLINE' ENTERED AT 12:06:59 ON 30 JAN 2007

FILE 'CAPLUS' ENTERED AT 12:06:59 ON 30 JAN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE 'WPIDS' ENTERED AT 12:06:59 ON 30 JAN 2007 COPYRIGHT (C) 2007 THE THOMSON CORPORATION

FILE 'USPATFULL' ENTERED AT 12:06:59 ON 30 JAN 2007
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 16

SAMPLE SEARCH INITIATED 12:07:06 FILE 'WPIDS'
SAMPLE SCREEN SEARCH COMPLETED - 1870 TO ITERATE

53.5% PROCESSED 1000 ITERATIONS

5 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 17416 TO 19984
PROJECTED ANSWERS: 5 TO 184

L7 1190 L6

=> s 17 not py>2004

L8 1040 L7 NOT PY>2004

=> s 18 and "prostate cancer"

2 FILES SEARCHED...

L9 16 L8 AND "PROSTATE CANCER"

=> s 18 and "androgen"

AUTHOR:

L10 12 L8 AND "ANDROGEN"

=> d 110 1-12 ibib, abs, hitstr

L10 ANSWER 1 OF 12 MEDLINE on STN

ACCESSION NUMBER: 2003400986 MEDLINE Full-text

DOCUMENT NUMBER: PubMed ID: 12939470

TITLE: Androgen antagonist activity by the antioxidant

moiety of vitamin E, 2,2,5,7,8-pentamethyl-6-chromanol in

human prostate carcinoma cells. Thompson Todd A; Wilding George

CORPORATE SOURCE: University of Wisconsin Comprehensive Cancer Center,

University of Missensity Medicar Military Medicar Control

University of Wisconsin-Madison, Madison, Wisconsin 53792,

USA.

SOURCE: Molecular cancer therapeutics, (2003 Aug) Vol. 2, No. 8,

pp. 797-803.

Journal code: 101132535. ISSN: 1535-7163.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200406

ENTRY DATE: Entered STN: 27 Aug 2003

Last Updated on STN: 24 Jun 2004 Entered Medline: 21 Jun 2004

AB Antioxidants, such as vitamin E, are being investigated for efficacy in prostate cancer prevention. In this study, we show that the antioxidant moiety of vitamin E, 2,2,5,7,8-pentamethyl-6-chromanol (PMCol), has antiandrogen activity in prostate carcinoma cells. In the presence of PMCol, the androgen-stimulated biphasic growth curve of LNCaP human prostate carcinoma cells was shifted to the right. The PMCol-induced growth shift was similar to that produced by treatment with the pure antiandrogen bicalutamide (i.e., Casodex), indicative of androgen receptor (AR) antagonist activity. The concentration of PMCol used was below the concentration required to affect cell growth or viability in the absence of androgen. Using an AR binding competition assay, PMCol was found to be a potent antiandrogen in both LNCaP and LAPC4 cells, with an IC(50) of approximately 10 micro M against 1 nM R1881 (methyltrienolone; a stable, synthetic androgen). Prostate-specific antigen release from LNCaP cells produced by androgen exposure with either 0.05 or 1.0 nM R1881 was inhibited 100% and 80%, respectively, by 30 micro M PMCol. Also, PMCol inhibited androgen-induced promoter activation in both LNCaP and LAPC4 cells. However, PMCol did not affect AR protein levels, suggesting that the

inhibitory effects of PMCol on androgenic pathways were not due to decreased expression of the AR. Therefore, growth modulation by the antioxidant moiety of vitamin E in androgen-sensitive prostate carcinoma cells is due, at least in part, to its potent antiandrogenic activity.

L10 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:665773 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 140:52950

TITLE: Androgen Antagonist Activity by the

Antioxidant Moiety of Vitamin E, 2,2,5,7,8-Pentamethyl-

6-chromanol in Human Prostate Carcinoma Cells

AUTHOR(S): Thompson, Todd A.; Wilding, George

CORPORATE SOURCE: University of Wisconsin Comprehensive Cancer Center

and University of Wisconsin Department of Medicine, University of Wisconsin-Madison, Madison, WI, 53792,

USA

SOURCE: Molecular Cancer Therapeutics (2003), 2(8), 797-803

CODEN: MCTOCF; ISSN: 1535-7163

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal LANGUAGE: English

Antioxidants, such as vitamin E, are being investigated for efficacy in AB prostate cancer prevention. In this study, we show that the antioxidant moiety of vitamin E, 2,2,5,7,8-pentamethyl-6-chromanol (PMCol), has antiandrogen activity in prostate carcinoma cells. In the presence of PMCol, the androgen-stimulated biphasic growth curve of LNCaP human prostate carcinoma cells was shifted to the right. The PMCol-induced growth shift was similar to that produced by treatment with the pure antiandrogen bicalutamide (i.e., Casodex), indicative of androgen receptor (AR) antagonist activity. The concentration of PMCol used was below the concentration required to affect cell growth or viability in the absence of androgen. Using an AR binding competition assay, PMCol was found to be a potent antiandrogen in both LNCaP and LAPC4 cells, with an IC50 of approx. 10 μM against 1 nM R1881 (methyltrienolone; a stable, synthetic androgen). Prostate-specific antigen release from LNCaP cells produced by androgen exposure with either 0.05 or 1.0 nM R1881 was inhibited 100% and 80%, resp., by 30 µM PMCol. Also, PMCol inhibited androgen-induced promoter activation in both LNCaP and LAPC4 cells. However, PMCol did not affect AR protein levels, suggesting that the inhibitory effects of PMCol on androgenic pathways were not due to decreased expression of the AR. Therefore, growth modulation by the antioxidant moiety of vitamin E in androgen-sensitive prostate carcinoma cells is due, at least in part, to its potent antiandrogenic activity.

IT 950-99-2, 2,2,5,7,8-Pentamethyl-6-chromanol

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(androgen antagonist activity by the antioxidant moiety of vitamin E, 2,2,5,7,8-pentamethyl-6-chromanol in human prostate carcinoma cells)

RN 950-99-2 CAPLUS

CN 2H-1-Benzopyran-6-ol, 3,4-dihydro-2,2,5,7,8-pentamethyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 12 USPATFULL on STN

ACCESSION NUMBER:

2004:315490 USPATFULL Full-text

TITLE:

Substituted benzopyrans as selective estrogen

receptor-beta agonists

INVENTOR(S):

Dodge, Jeffrey Alan, Indianapolis, IN, UNITED STATES

Krishnan, Venkatesh, Fishers, IN, UNITED STATES

Lugar, Charles Willis, McCordsville, IN, UNITED STATES

Neubauer, Blake Lee, Carmel, IN, UNITED STATES

Norman, Bryan Hurst, Indianapolis, IN, UNITED STATES Pfeifer, Lance Allen, Indianapolis, IN, UNITED STATES Richardson, Timothy Ivo, Indianapolis, IN, UNITED

STATES

		NUMBER	KIND	DATE	
PATENT INFORMATION:	US	2004249167	A1	20041209	
APPLICATION INFO.:	US	2004-493092	A1	20040420	(10)
	WO	2002-US33622		20021107	

NUMBER	DATE						
2001-60332766	20011119						

PRIORITY INFORMATION:

US 2001-60332766 20011119 US 2002-60363622 20020311

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE:

Michael J Sayles, Eli Lilly & Company, Patent Division,

PO Box 6288, Indianapolis, IN, 46206-6288

NUMBER OF CLAIMS: 54 EXEMPLARY CLAIM: 1 LINE COUNT: 2943

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to substituted benzopyran derivatives, stereoisomers, and pharmaceutical acceptable salts thereof and processes for the preparation of the same. The compounds of the present invention are useful as Estrogen Receptor β agonists. Such agonists are useful for the treating Estrogen Receptor β mediated diseases such as prostate cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 533883-77-1P 533883-83-9P 533883-84-0P
533883-86-2P 533883-87-3P 533883-89-5P

533883-90-8P 533883-91-9P 533883-92-0P 533883-95-3P 533883-96-4P 533883-97-5P

533884-02-5P 533884-04-7P 533884-08-1P

533884-09-2P 533884-10-5P 533884-16-1P

533884-17-2P 533884-19-4P 533884-20-7P

533884-22-9P 533884-23-0P 533884-25-2P

533884-26-3P 533884-29-6P 533884-31-0P
(drug candidate; preparation of benzopyran derivs. as selective estrogen receptor β agonists)

RN 533883-77-1 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 533883-83-9 USPATFULL
CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)-6-methyl-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 533883-84-0 USPATFULL
CN Benzo[b]cyclohepta[d]pyran-2-ol, 6,6a,7,8,9,10,11,11a-octahydro-6-(4-hydroxyphenyl)-, (6R,6aS,11aR)-rel- (9CI) (CA INDEX NAME)

RN 533883-86-2 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)-1,1-dimethyl-, (3aR,4S,9bR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 533883-87-3 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,1-diethyl-1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)-, (3aR,4S,9bR)-rel- (9CI) (CA INDEX NAME)

RN 533883-89-5 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxy-3-methylphenyl)-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 533883-90-8 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxy-3-methylphenyl)-, (3aR,4R,9bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 533883-91-9 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxy-2-methylphenyl)-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

RN 533883-92-0 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)-, (3aR,4R,9bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 533883-95-3 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)-7-methyl-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

RN 533883-96-4 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)-7-methyl-, (3aR,4R,9bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 533883-97-5 USPATFULL

CN 6H-Dibenzo[b,d]pyran-2-ol, 6a,7,8,9,10,10a-hexahydro-6-(4-hydroxyphenyl)-, (6R,6aS,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 533884-02-5 USPATFULL

CN Benzamide, 4-[(3aR,4S,9bS)-1,2,3,3a,4,9b-hexahydro-8-hydroxycyclopenta[c][1]benzopyran-4-yl]-, rel- (9CI) (CA INDEX NAME)

RN 533884-04-7 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-methoxyphenyl)-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 533884-08-1 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)-, (3aR,4S,9bS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 533884-09-2 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)-, (3aS,4R,9bR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 533884-10-5 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

RN 533884-16-1 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 533884-17-2 USPATFULL

CN Benzo[b]cyclohepta[d]pyran-2-ol, 6,6a,7,8,9,10,11,11a-octahydro-6-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

CN

RN 533884-19-4 USPATFULL

Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)-1,1-dimethyl- (9CI) (CA INDEX NAME)

RN 533884-20-7 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,1-diethyl-1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl).- (9CI) (CA INDEX NAME)

RN 533884-22-9 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxy-3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 533884-23-0 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxy-2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 533884-25-2 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-hydroxyphenyl)-7-methyl- (9CI) (CA INDEX NAME)

RN 533884-26-3 USPATFULL

CN 6H-Dibenzo[b,d]pyran-2-ol, 6a,7,8,9,10,10a-hexahydro-6-(4-hydroxyphenyl)(9CI) (CA INDEX NAME)

RN 533884-29-6 USPATFULL

CN Benzamide, 4-(1,2,3,3a,4,9b-hexahydro-8-hydroxycyclopenta[c][1]benzopyran-4-yl)- (9CI) (CA INDEX NAME)

RN 533884-31-0 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

IT 533885-01-7P 533885-03-9P

(intermediate; preparation of benzopyran derivs. as selective estrogen receptor $\boldsymbol{\beta}$ agonists)

RN 533885-01-7 USPATFULL

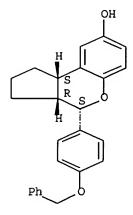
CN Methanesulfonic acid, trifluoro-, 4-[(3aR,4S,9bS)-1,2,3,3a,4,9b-hexahydro-8-hydroxycyclopenta[c][1]benzopyran-4-yl]phenyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

CN

RN 533885-03-9 USPATFULL

Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-[4-(phenylmethoxy)phenyl]-, (3aR,4S,9bS)-rel-(9CI) (CA INDEX NAME)



L10 ANSWER 4 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2004:300069 USPATFULL Full-text

TITLE: Tocopherols, tocotrienols, other chroman and side chain

derivatives and uses thereof

INVENTOR(S): Sanders, Bob G., Austin, TX, UNITED STATES

Kline, Kimberly, Austin, TX, UNITED STATES Hurley, Laurence, Austin, TX, UNITED STATES Gardner, Robb, Austin, TX, UNITED STATES Menchaca, Marla, Austin, TX, UNITED STATES

Yu, Weiping, Austin, TX, UNITED STATES

Ramanan, Puthucode N., Austin, TX, UNITED STATES

Liu, Shenquan, Austin, TX, UNITED STATES Israel, Karen, Austin, TX, UNITED STATES

PATENT ASSIGNEE(S): Research Development Foundation (U.S. corporation)

> NUMBER KIND DATE

PATENT INFORMATION: US 2004235938 A1 20041125

APPLICATION INFO.: US 2003-644418 A1 20030820 (10)

Division of Ser. No. US 2000-502592, filed on 11 Feb RELATED APPLN. INFO.: 2000, GRANTED, Pat. No. US 6770672 Continuation-in-part

of Ser. No. US 1999-404001, filed on 23 Sep 1999,

GRANTED, Pat. No. US 6417223

NUMBER DATE

PRIORITY INFORMATION: US 1998-101542P 19980923 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Benjamin Aaron Adler, ADLER & ASSOCIATES, 8011 Candle

Lane, Houston, TX, 77071

NUMBER OF CLAIMS: 1

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 21 Drawing Page(s)

LINE COUNT: 2556

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides an antiproliferative compound having the

structural formula ##STR1##

wherein X is oxygen, nitrogen or sulfur; Y is selected from the group consisting of oxygen, nitrogen and sulfur wherein when Y is oxygen or nitrogen, n is 1 and when Y is sulfur, n is 0. Also provided is a method for inducing apoptosis in a cell comprising administering a composition comprising a compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 950-99-2

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for use as antitumor agents and for inducing cell apoptosis)

950-99-2 USPATFULL RN

2H-1-Benzopyran-6-ol, 3,4-dihydro-2,2,5,7,8-pentamethyl- (9CI) CN NAME)

L10 ANSWER 5 OF 12 USPATFULL on STN

ACCESSION NUMBER:

2004:192666 USPATFULL Full-text

TITLE:

Tocopherols, tocotrienols, other chroman and side chain

derivatives and uses thereof

INVENTOR(S):

Sanders, Bob G., Austin, TX, United States Kline, Kimberly, Austin, TX, United States Hurley, Laurence, Austin, TX, United States Gardner, Robb, Austin, TX, United States Menchaca, Marla, Austin, TX, United States Yu, Weiping, Austin, TX, United States

Ramanan, Puthucode N., Austin, TX, United States

Liu, Shenquan, Austin, TX, United States Israel, Karen, Austin, TX, United States

PATENT ASSIGNEE(S):

Research Development Foundation, Carson City, NV,

United States (U.S. corporation)

	NUMBER	KIND	DATE	
				
PATENT INFORMATION:	US 6770672	B1	20040803	
APPLICATION INFO.:	IIS 2000-502592		20000211	- 1

APPLICATION INFO.:

20000211 (9)

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 1999-404001, filed on 23 Sep 1999, now patented, Pat. No. US 6417223,

issued on 9 Jul 2002

NUMBER								DATE																	
	-	-	-	-	-	_	_	-	-	-	_	-	-	-	•	-	-	-	-	-	-	-	-		

PRIORITY INFORMATION:

US 1998-101543P 19980923 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: ASSISTANT EXAMINER:

Fonda, Kathleen K. Maier, Leigh C.

LEGAL REPRESENTATIVE: NUMBER OF CLAIMS:

Adler, Benjamin Aaron 4

EXEMPLARY CLAIM:

1

NUMBER OF DRAWINGS: 18 Drawing Figure(s); 21 Drawing Page(s)

LINE COUNT: 2359

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides an antiproliferative compound having the structural formula ##STR1##

wherein X is oxygen, nitrogen or sulfur; Y is selected from the group consisting of oxygen, nitrogen and sulfur wherein when Y is oxygen or nitrogen, n is 1 and when Y is sulfur, n is 0. Also provided is a method for inducing apoptosis in a cell comprising administering a composition comprising a compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 950-99-2, 2,2,5,7,8-Pentamethyl-6-chromanol

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for therapeutic use in prevention and treatment of cancer)

RN 950-99-2 USPATFULL

CN 2H-1-Benzopyran-6-ol, 3,4-dihydro-2,2,5,7,8-pentamethyl- (9CI) (CA INDEX NAME)

L10 ANSWER 6 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2004:127448 USPATFULL Full-text

TITLE: Tocopherols, tocotrienols, other chroman and side chain

derivatives and uses thereof

INVENTOR(S): Sanders, Bob G., Austin, TX, UNITED STATES

Kline, Kimberly, Austin, TX, UNITED STATES

Yu, Weiping, Austin, TX, UNITED STATES

PATENT ASSIGNEE(S): Research Development Foundation (U.S. corporation)

APPLICATION INFO.: US 2003-695275 A1 20031028 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2001-8066, filed on 5 Nov 2001, GRANTED, Pat. No. US 6703384 Continuation-in-part of Ser. No. US 2000-502592, filed on 11 Feb 2000, PENDING Continuation-in-part of Ser. No. US 1999-404001, filed

on 23 Sep 1999, GRANTED, Pat. No. US 6417223

NUMBER DATE

PRIORITY INFORMATION: US 1998-101542P 19980923 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Benjamin Aaron Adler, Ph.D., J.D., Adler & Associates,

8011 Candle Lane, Houston, TX, 77071

NUMBER OF CLAIMS: 17

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 11 Drawing Page(s)

LINE COUNT: 2605

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides an antiproliferative compound having a

structural formula ##STR1##

where X and Y independently are oxygen, nitrogen or sulfur; R.sup.1 is alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxylic acid, carboxylate, carboxamide, ester, thioamide, thiolacid, thiolester, saccharide, alkoxylinked saccharide, amine, sulfonate, sulfate, phosphate, alcohol, ethers or nitrites; R.sup.2 and R.sup.3 are hydrogen or R.sup.4; R.sup.4 is methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide or amine; and R.sup.5 is alkenyl; where when Y is nitrogen, said nitrogen is substituted with R.sup.6, wherein R.sup.6 is hydrogen or methyl. Also provided are methods for treating a cell proliferative disease and for inducing apoptosis in a cell comprising administering this compound is also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 950-99-2

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for use as antitumor agents and for inducing cell apoptosis)

RN 950-99-2 USPATFULL

CN 2H-1-Benzopyran-6-ol, 3,4-dihydro-2,2,5,7,8-pentamethyl- (9CI) (CA INDEX NAME)

L10 ANSWER 7 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2003:268231 USPATFULL Full-text

TITLE: Substituted benzopyrans as selective estrogen receptor

 β agonists

INVENTOR(S): Dodge, Jeffrey Alan, Indianapolis, IN, United States

Krishnan, Venkatesh, Fishers, IN, United States

Lugar, III, Charles Willis, McCordsville, IN, United

States

Neubauer, Blake Lee, Carmel, IN, United States

PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States

(U.S. corporation)

		NUMBER	KIND	DATE	
PATENT INFORMATION:	US	6630508	B1	20031007	
APPLICATION INFO.:		2003-349521		20030122	(10)

NUMBER DATE

PRIORITY INFORMATION: US 2002-355891P 20020211 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Aulakh, Charanjit S. LEGAL REPRESENTATIVE: Sayles, Michael J.

NUMBER OF CLAIMS: 13 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 1385

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to substituted benzopyran derivatives, stereoisomers, and pharmaceutical acceptable salts thereof and processes for the preparation of the same. The compounds of the present invention are useful as Estrogen Receptor β agonists. Such agonists are useful for treating Estrogen Receptor β mediated diseases such as prostate cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 609806-61-3P 609806-62-4P 609806-63-5P

609806-64-6P 609806-65-7P 609806-66-8P

(preparation of arylcyclopentabenzopyrans and related compds. as selective estrogen receptor β agonists)

RN 609806-61-3 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-phenyl-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

CN

RN 609806-62-4 USPATFULL

Cyclopenta[c][1]benzopyran-8-ol, 4-(4-fluorophenyl)-1,2,3,3a,4,9b-hexahydro-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

RN 609806-63-5 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 4-(4-ethylphenyl)-1,2,3,3a,4,9b-hexahydro-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 609806-64-6 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-[4-(trifluoromethyl)phenyl]-, (3aR,4S,9bS)-rel-(9CI) (CA INDEX NAME)

RN 609806-65-7 USPATFULL

CN Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(3-hydroxyphenyl)-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 609806-66-8 USPATFULL

Cyclopenta[c][1]benzopyran-8-ol, 1,2,3,3a,4,9b-hexahydro-4-(4-methylphenyl)-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L10 ANSWER 8 OF 12 USPATFULL on STN

ACCESSION NUMBER:

2003:38151 USPATFULL Full-text

TITLE:

CN

Materials and methods for the treatment of diabetes,

hyperlipidemia, hypercholesterolemia, and

atherosclerosis

INVENTOR(S):

Druzgala, Pascal, Santa Rosa, CA, UNITED STATES

Milner, Peter G., Los Altos Hills, CA, UNITED STATES

Pfister, Jurg R., Los Altos, CA, UNITED STATES

NUMBER KIND DATE

PATENT INFORMATION: US 2003027798 A1 20030206

US 6768008 B2 20040727

APPLICATION INFO.: US 2001-961542 A1 20010921 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2001-841351, filed

on 24 Apr 2001, PENDING

NUMBER DATE

PRIORITY INFORMATION: US 2000-199146P 20000424 (60)

US 2000-234423P 20000921 (60)

US 2001-281982P 20010406 (60)

US 2001-314792P 20010824 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: SALIWANCHIK LLOYD & SALIWANCHIK, A PROFESSIONAL

ASSOCIATION, 2421 N.W. 41ST STREET, SUITE A-1,

GAINESVILLE, FL, 326066669

NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 25 Drawing Page(s)

LINE COUNT: 2393

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The subject invention provides pharmaceutical compounds useful in the treatment of Type II diabetes. These compounds are advantageous because they are readily metabolized by the metabolic drug detoxification systems.

Particularly, thiazolidinedione analogs that have been designed to include esters within the structure of the compounds are provided. This invention is

esters within the structure of the compounds are provided. This invention is also drawn to methods of treating disorders, such as diabetes, comprising the administration of therapeutically effective compositions comprising compounds that have been designed to be metabolized by serum or intracellular hydrolases and esterases. Pharmaceutical compositions of the

ester-containing thiazolidinedione analogs are also taught.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 494870-56-3P 494870-57-4P 494870-58-5P

494870-59-6P

(preparation of benzylazolidinediones for the treatment of diabetes, hyperlipidemia, hypercholesterolemia, and atherosclerosis)

RN 494870-56-3 USPATFULL

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-6-hydroxy-5,7,8-trimethyl-, 4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 494870-57-4 USPATFULL

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-6-hydroxy-5,7,8-trimethyl-, 4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 494870-58-5 USPATFULL

CN 2,4-Thiazolidinedione, 5-[[4-[[(2R)-3,4-dihydro-6-hydroxy-5,7,8-trimethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methylene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 494870-59-6 USPATFULL

CN 2,4-Thiazolidinedione, 5-[[4-[[(2S)-3,4-dihydro-6-hydroxy-5,7,8-trimethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methylene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L10 ANSWER 9 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2002:280579 USPATFULL Full-text

TITLE: Tocopherols, tocotrienols, other chroman and side chain

derivatives and uses thereof

INVENTOR(S): Sanders, Bob G., Austin, TX, UNITED STATES

Kline, Kimberly, Austin, TX, UNITED STATES
Hurley, Laurence, Austin, TX, UNITED STATES
Gardner, Robb, Austin, TX, UNITED STATES
Menchaca, Marla, Austin, TX, UNITED STATES
Yu, Weiping, Austin, TX, UNITED STATES

Ramanan, Puthucode N., Austin, TX, UNITED STATES

Liu, Shenquan, Austin, TX, UNITED STATES Israel, Karen, Austin, TX, UNITED STATES

PATENT ASSIGNEE(S): Research Development Foundation (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 2002156024 A1 20021024 US 6645998 B2 20031111

APPLICATION INFO.: US 2002-122019 A1 20020412 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 1999-404001, filed on 23 Sep

1999, GRANTED, Pat. No. US 6417223

NUMBER DATE

PRIORITY INFORMATION: US 1998-101542P 19980923 (60)
DOCUMENT TYPE: Utility

FILE SEGMENT: OTTITES
APPLICATION

LEGAL REPRESENTATIVE: Benjamin Aaron Adler, ADLER & ASSOCIATES, 8011 Candle

Lane, Houston, TX, 77071

NUMBER OF CLAIMS: 20 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 14 Drawing Page(s)

LINE COUNT: 2170

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides an antiproliferative compound having the

structural formula ##STR1##

wherein X is oxygen, nitrogen or sulfur; R.sup.1 is alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxylic acid, carboxylate, carboxamide, ester, thioamide, thiolacid, thiolester, saccharide, alkoxy-linked saccharide, amine, sulfonate, sulfate, phosphate, alcohol, ethers and nitriles; R.sup.2 is hydrogen, methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide and amine; R.sup.3 is selected from the group consisting of hydrogen, methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide and amine; R.sup.4 is of methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide and amine; and R.sup.5 is alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxyl, amide and ester. Also provided is a method for inducing apoptosis in a cell comprising administering a composition comprising a compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 950-99-2

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for use as antitumor agents and for inducing cell apoptosis)
RN 950-99-2 USPATFULL

2H-1-Benzopyran-6-ol, 3,4-dihydro-2,2,5,7,8-pentamethyl- (9CI) (CA INDEX CN

L10 ANSWER 10 OF 12 USPATFULL on STN

ACCESSION NUMBER:

2002:199098 USPATFULL Full-text

TITLE:

Tocopherols, tocotrienols, other chroman and side chain

derivatives and uses thereof

INVENTOR (S):

Sanders, Bob G., Austin, TX, UNITED STATES Kline, Kimberly, Austin, TX, UNITED STATES Yu, Weiping, Austin, TX, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002107207	A1	20020808	
	US 6703384	B2	20040309	
APPLICATION INFO.:	US 2001-8066	A1	20011105	(10)
RELATED APPLN. INFO.:	Continuation-in-	part of	Ser. No.	US 2000-502592
	on 11 Feb 2000,	PENDING	Continuat	tion-in-part of

, filed No. US 1999-404001, filed on 23 Sep 1999, PENDING

> NUMBER DATE _____ _____ US 1998-101542P 19980923 (60)

PRIORITY INFORMATION:

DOCUMENT TYPE: Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

Benjamin Aaron Adler, ADLER & ASSOCIATES, 8011 Candle

Lane, Houston, TX, 77071

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS:

12 Drawing Page(s)

LINE COUNT:

2606

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides an antiproliferative compound having a structural formula ##STR1##

where X and Y independently are oxygen, nitrogen or sulfur; R.sup.1 is alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxylic acid, carboxylate, carboxamide, ester, thioamide, thiolacid, thiolester, saccharide, alkoxylinked saccharide, amine, sulfonate, sulfate, phosphate, alcohol, ethers or nitrites; R.sup.2 and R.sup.3 are hydrogen or R.sup.4; R.sup.4 is methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide or amine; and R.sup.5 is alkenyl; where when Y is nitrogen, said nitrogen is substituted with R.sup.6, wherein R.sup.6 is hydrogen or methyl. Also provided are methods for treating a cell proliferative disease and for inducing apoptosis in a cell comprising administering this compound is also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 950-99-2, 2,2,5,7,8-Pentamethyl-6-chromanol

(preparation of tocopherols, tocotrienols, other chromans and side chain derivs. as potential antiproliferative, proapoptotic agents for the treatment of cancer)

RN 950-99-2 USPATFULL

CN 2H-1-Benzopyran-6-ol, 3,4-dihydro-2,2,5,7,8-pentamethyl- (9CI) (CA INDEX NAME)

L10 ANSWER 11 OF 12 USPATFULL on STN

ACCESSION NUMBER:

2002:168253 USPATFULL Full-text

TITLE:

Tocopherols, tocotrienols, other chroman and side chain

derivatives and uses therof

INVENTOR(S):

Sanders, Bob G., Austin, TX, United States Kline, Kimberly, Austin, TX, United States Hurley, Laurence, Austin, TX, United States Gardner, Robb, Austin, TX, United States Menchaca, Marla, Austin, TX, United States Yu, Weiping, Austin, TX, United States

Ramanan, Puthucode N., Austin, TX, United States

Liu, Shenquan, Austin, TX, United States Israel, Karen, Austin, TX, United States

PATENT ASSIGNEE(S):

Research Development Foundation, Carson City, NV,

United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6417223	B1	20020709	
APPLICATION INFO.:	US 1999-404001		19990923	(9)

NUMBER DATE

PRIORITY INFORMATION:

US 1998-101542P 19980923 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

GRANTED

PRIMARY EXAMINER:

Wilson, James O.

ASSISTANT EXAMINER:

Maier, Leigh C.

LEGAL REPRESENTATIVE:

Adler, Benjamin Aaron

NUMBER OF CLAIMS:

3

EXEMPLARY CLAIM:

1

NUMBER OF DRAWINGS:

14 Drawing Figure(s); 14 Drawing Page(s)

LINE COUNT:

1959

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides an antiproliferative compound having the structural formula ##STR1##

wherein X is oxygen, nitrogen or sulfur; R.sup.1 is alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxylic acid, carboxylate, carboxamide, ester,

thioamide, thiolacid, thiolester, saccharide, alkoxy-linked saccharide, amine, sulfonate, sulfate, phosphate, alcohol, ethers and nitriles; R.sup.2 is hydrogen, methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide and amine; R.sup.3 is selected from the group consisting of hydrogen, methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide and amine; R.sup.4 is of methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide and amine; and R.sup.5 is alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxyl, amide and ester. Also provided is a method for inducing apoptosis in a cell comprising administering a composition comprising a compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 950-99-2

RN

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for use as antitumor agents and for inducing cell apoptosis) 950-99-2 USPATFULL

CN 2H-1-Benzopyran-6-ol, 3,4-dihydro-2,2,5,7,8-pentamethyl- (9CI) (CA INDEX NAME)

L10 ANSWER 12 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2001:22257 USPATFULL Full-text

TITLE: Methods for treating benign prostatic hyperplasia using

tocotrienols

INVENTOR(S): Lane, Ronald H., Phoenix, AZ, United States

PATENT ASSIGNEE(S): LipoGenics, Inc., Phoenix, AZ, United States (U.S.

corporation)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Witz, Jean C.
LEGAL REPRESENTATIVE: Lyon & Lyon LLP

NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 523

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention relates to the treatment of benign prostatic hyperplasia (BPH) using tocotrienols. Specifically, this invention relates to compositions and the use of compositions comprising individual tocotrienols, mixtures of tocotrienols and mixtures of one or more tocotrienols with other anti-BPH substances.

150035-60-2 IT

> (oral compns. containing tocotrienols and addnl. active agents for treatment of benign prostatic hyperplasia)

150035-60-2 USPATFULL RN

2H-1-Benzopyran-6-ol, 3,4-dihydro-2-[(3E,7E)-4,8,12-trimethyl-3,7,11-CN tridecatrienyl] - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

=> d his

(FILE 'HOME' ENTERED AT 11:59:27 ON 30 JAN 2007)

FILE 'REGISTRY' ENTERED AT 11:59:45 ON 30 JAN 2007

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 0 S L1 FULL

0 S L1 SSS FULL L4

L5 STRUCTURE UPLOADED

L6 900 S L5 FULL

> FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 12:06:59 ON 30 JAN 2007

L7 1190 S L6

1040 S L7 NOT PY>2004 1.8

L9 16 S L8 AND "PROSTATE CANCER"

L10 12 S L8 AND "ANDROGEN"

=> d 19 1-16 ibib, abs, histr

'HISTR' IS NOT A VALID FORMAT

In a multifile environment, a format can only be used if it is valid in at least one of the files. Refer to file specific help messages or the STNGUIDE file for information on formats available in individual files.

REENTER DISPLAY FORMAT FOR ALL FILES (FILEDEFAULT): ibib, abs

ANSWER 1 OF 16 MEDLINE on STN

ACCESSION NUMBER: 2003400986 MEDLINE Full-text

DOCUMENT NUMBER: PubMed ID: 12939470

TITLE: Androgen antagonist activity by the antioxidant moiety of

vitamin E, 2,2,5,7,8-pentamethyl-6-chromanol in human

prostate carcinoma cells.

AUTHOR: Thompson Todd A; Wilding George

CORPORATE SOURCE: University of Wisconsin Comprehensive Cancer Center,

University of Wisconsin-Madison, Madison, Wisconsin 53792,

SOURCE: Molecular cancer therapeutics, (2003 Aug) Vol. 2, No. 8,

pp. 797-803.

Journal code: 101132535. ISSN: 1535-7163.

PUB. COUNTRY:

United States

DOCUMENT TYPE:

Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English FILE SEGMENT:

Priority Journals

ENTRY MONTH:

200406

ENTRY DATE:

Entered STN: 27 Aug 2003

Last Updated on STN: 24 Jun 2004 Entered Medline: 21 Jun 2004

AB Antioxidants, such as vitamin E, are being investigated for efficacy in prostate cancer prevention. In this study, we show that the antioxidant moiety of vitamin E, 2,2,5,7,8-pentamethyl-6-chromanol (PMCol), has antiandrogen activity in prostate carcinoma cells. In the presence of PMCol, the androgen-stimulated biphasic growth curve of LNCaP human prostate carcinoma cells was shifted to the right. The PMCol-induced growth shift was similar to that produced by treatment with the pure antiandrogen bicalutamide (i.e., Casodex), indicative of androgen receptor (AR) antagonist activity. The concentration of PMCol used was below the concentration required to affect cell growth or viability in the absence of androgen. Using an AR binding competition assay, PMCol was found to be a potent antiandrogen in both LNCaP and LAPC4 cells, with an IC(50) of approximately 10 micro M against 1 nM R1881 (methyltrienolone; a stable, synthetic androgen). Prostate-specific antigen release from LNCaP cells produced by androgen exposure with either 0.05 or 1.0 nM R1881 was inhibited 100% and 80%, respectively, by 30 micro M PMCol. Also, PMCol inhibited androgen-induced promoter activation in both LNCaP and LAPC4 cells. However, PMCol did not affect AR protein levels, suggesting that the inhibitory effects of PMCol on androgenic pathways were not due to decreased expression of the AR. Therefore, growth modulation by the antioxidant moiety of vitamin E in androgen-sensitive prostate carcinoma cells is due, at least in part; to its potent antiandrogenic activity.

L9 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2003:784630 CAPLUS Full-text

DOCUMENT NUMBER:

139:292149

TITLE:

Preparation of arylcyclopentabenzopyrans and related

compounds as selective estrogen receptor $\boldsymbol{\beta}$

agonists

INVENTOR (S):

Dodge, Jeffrey Alan; Krishnan, Venkatesh; Lugar,

Charles Willis, III; Neubauer, Blake Lee

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

U.S., 20 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
US 6630508	B1	20031007	US 2003-349521	20030122		
PRIORITY APPLN. INFO.:			US 2002-355891P P	20020211		
OTHER SOURCE(S):	MARPAT	139:292149				

GI

AB Title compds. (I; R1, R2 = H, alkyl, OH, alkoxy, halo, CF3; R3 = H, alkyl, halo, CF3; Y1, Y2, Y3 = H, alkyl; G = CH2, CH2CH2, CH2CH2CH2; with the proviso that when G = CH2 and R1, R2, R3, Y2, and Y3 all = H, then Y1 cannot = Me), were prepared Thus, intermediate (II) in MeOH was heated at 50° with 4-

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

MeC6H4SO3H for 18 h; to the mixture at ambient temperature was added bromocreosol green and NaBH3CN. MeOH saturated with HCl was added portionwise over time to maintain the yellow color to give 72% 2-(4-methylphenyl)-6-hydroxycyclopenta[c]3,4-dihydro-2H-1-benzopyran (III). III in an estrogen receptor (ER) binding assay showed a ratio of ERα/ERβ Ki's of 12.6.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2003:719298 CAPLUS Full-text

DOCUMENT NUMBER:

139:245900

TITLE:

Preparation of substituted benzopyrans as selective

estrogen receptor β agonists

INVENTOR(S):

Lugar, Charles Willis, III; Dodge, Jeffrey Alan;

Krishnan, Venkatesh Gary; Neubauer, Blake Lee; Norman,

Bryan Hurst

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

PCT Int. Appl., 45 pp.

DOCUMENT TYPE:

CODEN: PIXXD2
Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.						KIND DATE			APPLICATION NO.						DATE				
	WO	2003	0740	44		A1 20030912			1	WO 2	003-1	US26'	20030213						
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM;	TN,	TR,	TT,	TZ,	
			UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw							
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW.,	AM,	AZ,	BY,	
			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
			FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,	
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
	AU	2003	2128	56		A1		2003	0916		AU 2	003-	2128	56		2	0030	213	
PRIO	RITY	APP	LN.	INFO	. :					US 2002-361524P				24P]	P 20020301			
	,								1	WO 2	003-1	US26'	78	Ţ	W 2	0030	213		

OTHER SOURCE(S):

MARPAT 139:245900

GΙ

$$R^{1}$$
 R^{5}
 R^{6}
 R^{3}
 R^{4}
 R^{4}

AB Benzopyrans I [R1-R4 = H, alkyl, OH, alkoxy, Halogen, CF3; R5, R6 = H, alkyl] were prepared for use as selective estrogen receptor β agonists in treatment of diseases such as prostate cancer. Thus, MeCOCHMeCO2Et was converted to F3CSO2OCMe:CMeCO2Et which was treated with 2,5-(MeOCH2O)2C6H3Br to give 2,5-

(MeOCH2O) 2C6H3CMe:CMeCO2Et. This compound was reduced stereoselectively to cis-2,5- (MeOCH2O) 2C6H3CHMeCHMeCO2Et which was converted to cis-2,5- (MeOCH2O) 2C6H3CHMeCHMeCONMeOMe and treated with 4-MeOCH2OC6H4Br to give cis-2,5- (MeOCH2O) 2C6H3CHMeCHMeCOC6H4OCH2OMe-4. This compound was cyclized in presence of O and reduced with NaBH3CN to give 3,4-cis-I [R1 = 6-OH, R2, R3 = H, R4 = 4-OH, R5, R6 = Me, II] as a 6:1 cis-trans mixture at the 2-position. II had Ki(ER α)/Ki(ER β) of 7.7.

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2003:665773 CAPLUS Full-text

6

DOCUMENT NUMBER:

140:52950

TITLE:

Androgen Antagonist Activity by the Antioxidant Moiety of Vitamin E, 2,2,5,7,8-Pentamethyl-6-chromanol in

Human Prostate Carcinoma Cells

AUTHOR (S):

Thompson, Todd A.; Wilding, George

CORPORATE SOURCE:

University of Wisconsin Comprehensive Cancer Center and University of Wisconsin Department of Medicine, University of Wisconsin-Madison, Madison, WI, 53792,

USA

SOURCE:

Molecular Cancer Therapeutics (2003), 2(8), 797-803

CODEN: MCTOCF; ISSN: 1535-7163

PUBLISHER:

American Association for Cancer Research

DOCUMENT TYPE: Journal LANGUAGE: English

Antioxidants, such as vitamin E, are being investigated for efficacy in prostate cancer prevention. In this study, we show that the antioxidant moiety of vitamin E, 2,2,5,7,8-pentamethyl-6-chromanol (PMCol), has antiandrogen activity in prostate carcinoma cells. In the presence of PMCol, the androgen-stimulated biphasic growth curve of LNCaP human prostate carcinoma cells was shifted to the right. The PMCol-induced growth shift was similar to that produced by treatment with the pure antiandrogen bicalutamide (i.e., Casodex), indicative of androgen receptor (AR) antagonist activity. The concentration of PMCol used was below the concentration required to affect cell growth or viability in the absence of androgen. Using an AR binding competition assay, PMCol was found to be a potent antiandrogen in both LNCaP and LAPC4 cells, with an IC50 of approx. 10 µM against 1 nM R1881 (methyltrienolone; a stable, synthetic androgen). Prostate-specific antigen release from LNCaP cells produced by androgen exposure with either 0.05 or 1.0 nM R1881 was inhibited 100% and 80%, resp., by 30 µM PMCol. Also, PMCol inhibited androgen-induced promoter activation in both LNCaP and LAPC4 cells. However, PMCol did not affect AR protein levels, suggesting that the inhibitory effects of PMCol on androgenic pathways were not due to decreased expression of the AR. Therefore, growth modulation by the antioxidant moiety of vitamin E in androgen-sensitive prostate carcinoma cells is due, at least in part, to its potent antiandrogenic activity.

REFERENCE COUNT:

THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 16 USPATFULL on STN

ACCESSION NUMBER:

2004:315490 USPATFULL Full-text

TITLE:

Substituted benzopyrans as selective estrogen

receptor-beta agonists

INVENTOR (S):

Dodge, Jeffrey Alan, Indianapolis, IN, UNITED STATES

Krishnan, Venkatesh, Fishers, IN, UNITED STATES

Lugar, Charles Willis, McCordsville, IN, UNITED STATES

Neubauer, Blake Lee, Carmel, IN, UNITED STATES

Norman, Bryan Hurst, Indianapolis, IN, UNITED STATES

Pfeifer, Lance Allen, Indianapolis, IN, UNITED STATES Richardson, Timothy Ivo, Indianapolis, IN, UNITED STATES

NUMBER KIND DATE -----US 2004249167 A1 20041209 PATENT INFORMATION:

US 2004-493092 A1 20040420 (10) WO 2002-US33622 20021107 APPLICATION INFO.:

DATE NUMBER -----

US 2001-60332766 20011119 PRIORITY INFORMATION:

US 2002-60363622 20020311

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Michael J Sayles, Eli Lilly & Company, Patent Division,

PO Box 6288, Indianapolis, IN, 46206-6288

NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT: 2943

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to substituted benzopyran derivatives, stereoisomers, and pharmaceutical acceptable salts thereof and processes for the preparation of the same. The compounds of the present invention are useful as Estrogen Receptor β agonists. Such agonists are useful for the treating Estrogen Receptor β mediated diseases such as prostate cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 6 OF 16 USPATFULL on STN

ACCESSION NUMBER: 2004:300069 USPATFULL Full-text

TITLE: Tocopherols, tocotrienols, other chroman and side chain

derivatives and uses thereof

INVENTOR(S): Sanders, Bob G., Austin, TX, UNITED STATES

Kline, Kimberly, Austin, TX, UNITED STATES Hurley, Laurence, Austin, TX, UNITED STATES Gardner, Robb, Austin, TX, UNITED STATES Menchaca, Marla, Austin, TX, UNITED STATES

Yu, Weiping, Austin, TX, UNITED STATES

Ramanan, Puthucode N., Austin, TX, UNITED STATES Liu, Shenquan, Austin, TX, UNITED STATES

Israel, Karen, Austin, TX, UNITED STATES

PATENT ASSIGNEE(S): Research Development Foundation (U.S. corporation)

NUMBER KIND DATE -----PATENT INFORMATION: US 2004235938 A1 20041125

US 2003-644418 A1 20030820 APPLICATION INFO.: (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-502592, filed on 11 Feb 2000, GRANTED, Pat. No. US 6770672 Continuation-in-part

of Ser. No. US 1999-404001, filed on 23 Sep 1999,

GRANTED, Pat. No. US 6417223

NUMBER -----

PRIORITY INFORMATION:

US 1998-101542P 19980923 (60)

Utility DOCUMENT TYPE: FILE SEGMENT: APPLICATION LEGAL REPRESENTATIVE: Benjamin Aaron Adler, ADLER & ASSOCIATES, 8011 Candle

Lane, Houston, TX, 77071

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 21 Drawing Page(s)

LINE COUNT: 2556

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides an antiproliferative compound having the

structural formula ##STR1##

wherein X is oxygen, nitrogen or sulfur; Y is selected from the group consisting of oxygen, nitrogen and sulfur wherein when Y is oxygen or nitrogen, n is 1 and when Y is sulfur, n is 0. Also provided is a method for inducing apoptosis in a cell comprising administering a composition comprising a compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 7 OF 16 USPATFULL on STN

2004:240352 USPATFULL Full-text ACCESSION NUMBER:

Polyphenol proteasome inhibitors, synthesis, and TITLE:

methods of use

INVENTOR(S): Dou, Q. Ping, Grosse Pointe, MI, UNITED STATES

Chan, Tak-Hang, Montreal, CANADA

Smith, David M., Boston, MA, UNITED STATES

KIND DATE NUMBER -----US 2004186167 A1 20040923 US 2004-764728 A1 20040126

PATENT INFORMATION: APPLICATION INFO.:

20040126 (10)

NUMBER DATE

US 2003-442213P 20030124 (60) US 2003-443554P 20030130 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: SALIWANCHIK LLOYD & SALIWANCHIK, A PROFESSIONAL

ASSOCIATION, 2421 N.W. 41ST STREET, SUITE A-1,

GAINESVILLE, FL, 32606-6669

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 27 Drawing Page(s)

LINE COUNT: 2140

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to synthetic green tea derived polyphenolic AB compounds, their modes of syntheses, and their use in inhibiting proteasomal activity and in treating cancers. The present invention is also directed to pharmaceutical compositions useful in methods of inhibiting proteasomes and of treating cancers.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 8 OF 16 USPATFULL on STN

· ACCESSION NUMBER: 2004:192666 USPATFULL Full-text

TITLE: Tocopherols, tocotrienols, other chroman and side chain

derivatives and uses thereof

INVENTOR (S):

Sanders, Bob G., Austin, TX, United States Kline, Kimberly, Austin, TX, United States Hurley, Laurence, Austin, TX, United States Gardner, Robb, Austin, TX, United States Menchaca, Marla, Austin, TX, United States Yu, Weiping, Austin, TX, United States

Ramanan, Puthucode N., Austin, TX, United States

Liu, Shenguan, Austin, TX, United States Israel, Karen, Austin, TX, United States

PATENT ASSIGNEE(S):

Research Development Foundation, Carson City, NV,

United States (U.S. corporation)

NUMBER KIND DATE -----US 6770672 B1 20040803

PATENT INFORMATION: APPLICATION INFO.:

US 2000-502592 20000211

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 1999-404001, filed on 23 Sep 1999, now patented, Pat. No. US 6417223,

issued on 9 Jul 2002

NUMBER

PRIORITY INFORMATION:

US 1998-101543P 19980923 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

GRANTED

PRIMARY EXAMINER:

Fonda, Kathleen K. Maier, Leigh C.

ASSISTANT EXAMINER: LEGAL REPRESENTATIVE:

Adler, Benjamin Aaron

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS:

18 Drawing Figure(s); 21 Drawing Page(s)

LINE COUNT:

2359

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides an antiproliferative compound having the structural formula ##STR1##

wherein X is oxygen, nitrogen or sulfur; Y is selected from the group consisting of oxygen, nitrogen and sulfur wherein when Y is oxygen or nitrogen, n is 1 and when Y is sulfur, n is 0. Also provided is a method for inducing apoptosis in a cell comprising administering a composition comprising a compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 9 OF 16 USPATFULL on STN

ACCESSION NUMBER:

2004:138645 USPATFULL Full-text

TITLE:

Identifying therapeutic compounds based on their

physical-chemical properties

INVENTOR (S):

Gilat, Sylvain, San Francisco, CA, UNITED STATES Binyamin, Gary, Palo Alto, CA, UNITED STATES

Miller, Guy, San Jose, CA, UNITED STATES

NUMBER KIND DATE PATENT INFORMATION: US 2004105817 A1 20040603

APPLICATION INFO.:

US 2003-696752 A1 20031029 (10)

NUMBER DATE

US 2002-422727P PRIORITY INFORMATION: 20021030 (60)

US 2003-487734P 20030716 (60)

Utility DOCUMENT TYPE:

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: GALILEO PHARMACEUTICALS, INC., (PREVIOUSLY GALILEO

LABORATORIES, INC.), 5301 PATRICK HENRY DRIVE, SANTA

CLARA, CA, 95954

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS:

7 Drawing Page(s)

LINE COUNT:

1812

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention is directed to rapid and efficient methods of identifying therapeutic compounds by allowing only the most favorable molecules initially selected based on their physical-chemical profile falling within a range predefined by the physical-chemical/biological relationship of a previously tested small subset of compounds of same core structure to be assayed; and to the therapeutic compositions identified by said methods.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 10 OF 16 USPATFULL on STN

ACCESSION NUMBER:

2004:127448 USPATFULL Full-text

TITLE:

Tocopherols, tocotrienols, other chroman and side chain

derivatives and uses thereof

INVENTOR (S):

Sanders, Bob G., Austin, TX, UNITED STATES Kline, Kimberly, Austin, TX, UNITED STATES Yu, Weiping, Austin, TX, UNITED STATES

PATENT ASSIGNEE(S):

Research Development Foundation (U.S. corporation)

NUMBER KIND DATE

PATENT. INFORMATION:

US 2004097431 A1 20040520

APPLICATION INFO.: RELATED APPLN. INFO.: US 2003-695275 A1 (10) 20031028 Division of Ser. No. US 2001-8066, filed on 5 Nov 2001,

GRANTED, Pat. No. US 6703384 Continuation-in-part of Ser. No. US 2000-502592, filed on 11 Feb 2000, PENDING Continuation-in-part of Ser. No. US 1999-404001, filed

on 23 Sep 1999, GRANTED, Pat. No. US 6417223

NUMBER DATE -----

PRIORITY INFORMATION:

US 1998-101542P 19980923 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

Benjamin Aaron Adler, Ph.D., J.D., Adler & Associates,

8011 Candle Lane, Houston, TX, 77071

NUMBER OF CLAIMS:

1

17

EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

11 Drawing Page(s)

LINE COUNT:

2605

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides an antiproliferative compound having a

structural formula ##STR1##

where X and Y independently are oxygen, nitrogen or sulfur; R.sup.1 is alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxylic acid, carboxylate, carboxamide, ester, thioamide, thiolacid, thiolester, saccharide, alkoxylinked saccharide, amine, sulfonate, sulfate, phosphate, alcohol, ethers or nitrites; R.sup.2 and R.sup.3 are hydrogen or R.sup.4; R.sup.4 is methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide or amine; and R.sup.5 is alkenyl; where when Y is nitrogen, said nitrogen is substituted with R.sup.6, wherein R.sup.6 is hydrogen or methyl. Also provided are methods for treating a cell proliferative disease and for inducing apoptosis in a cell comprising administering this compound is also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 11 OF 16 USPATFULL on STN

ACCESSION NUMBER: 2003:268231 USPATFULL Full-text

TITLE: Substituted benzopyrans as selective estrogen receptor

β agonists

INVENTOR(S): Dodge, Jeffrey Alan, Indianapolis, IN, United States

Krishnan, Venkatesh, Fishers, IN, United States

Lugar, III, Charles Willis, McCordsville, IN, United

States

Neubauer, Blake Lee, Carmel, IN, United States

PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States

(U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 6630508 B1 20031007

APPLICATION INFO.: US 2003-349521 20030122 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2002-355891P 20020211 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Aulakh, Charanjit S. LEGAL REPRESENTATIVE: Sayles, Michael J.

NUMBER OF CLAIMS: 13 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 1385

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to substituted benzopyran derivatives, stereoisomers, and pharmaceutical acceptable salts thereof and processes for the preparation of the same. The compounds of the present invention are useful as Estrogen Receptor β agonists. Such agonists are useful for treating Estrogen Receptor β mediated diseases such as prostate cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 12 OF 16 USPATFULL on STN

ACCESSION NUMBER: 2002:280579 USPATFULL Full-text

TITLE: Tocopherols, tocotrienols, other chroman and side chain

derivatives and uses thereof

INVENTOR(S): Sanders, Bob G., Austin, TX, UNITED STATES

Kline, Kimberly, Austin, TX, UNITED STATES

Hurley, Laurence, Austin, TX, UNITED STATES Gardner, Robb, Austin, TX, UNITED STATES Menchaca, Marla, Austin, TX, UNITED STATES

Yu, Weiping, Austin, TX, UNITED STATES

Ramanan, Puthucode N., Austin, TX, UNITED STATES

Liu, Shenquan, Austin, TX, UNITED STATES Israel, Karen, Austin, TX, UNITED STATES

PATENT ASSIGNEE(S): Research Development Foundation (U.S. corporation)

NUMBER KIND DATE ----- -----PATENT INFORMATION: US 2002156024 A1 20021024 US 6645998 B2 20031111 US 2002-122019 A1 20020412 (10)

APPLICATION INFO.:

RELATED APPLN. INFO.: Division of Ser. No. US 1999-404001, filed on 23 Sep

1999, GRANTED, Pat. No. US 6417223

NUMBER DATE -----

PRIORITY INFORMATION: US 1998-101542P 19980923 (60)

DOCUMENT TYPE: FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Benjamin Aaron Adler, ADLER & ASSOCIATES, 8011 Candle

Lane, Houston, TX, 77071

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 14 Drawing Page(s)

LINE COUNT: 2170

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides an antiproliferative compound having the

structural formula ##STR1##

wherein X is oxygen, nitrogen or sulfur; R.sup.1 is alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxylic acid, carboxylate, carboxamide, ester, thioamide, thiolacid, thiolester, saccharide, alkoxy-linked saccharide, amine, sulfonate, sulfate, phosphate, alcohol, ethers and nitriles; R.sup.2 is hydrogen, methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide and amine; R.sup.3 is selected from the group consisting of hydrogen, methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide and amine; R.sup.4 is of methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide and amine; and R.sup.5 is alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxyl, amide and ester. Also provided is a method for inducing apoptosis in a cell comprising administering a composition comprising a compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 13 OF 16 USPATFULL on STN

ACCESSION NUMBER: 2002:217302 USPATFULL Full-text

TITLE: Method of suppressing tumor growth with combinations of

isoprenoids and statins

INVENTOR(S): Elson, Charles E., Madison, WI, United States

PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, Madison, WI,

United States (U.S. corporation)

NUMBER KIND DATE ----- PATENT INFORMATION:

US 6441029

B1 20020827

APPLICATION INFO.:

US 2000-587737 20000605 (9)

RELATED APPLN. INFO.:

Division of Ser. No. US 1998-27546, filed on 23 Feb

1998, now patented, Pat. No. US 6133312

NUMBER

DATE -----

PRIORITY INFORMATION:

US 1997-39790P 19970304 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

GRANTED

PRIMARY EXAMINER:

Goldberg, Jerome D.

LEGAL REPRESENTATIVE:

Quarles & Brady LLP 8

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS:

4 Drawing Figure(s); 4 Drawing Page(s)

LINE COUNT:

1066

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB

A method of inhibiting the growth of tumor cells is disclosed. In one embodiment, this method comprises the step of exposing tumor cells to an effective amount of a composition comprising at least two compounds selected from the group consisting of tocotrienols, statins and ionones.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 14 OF 16 USPATFULL on STN

ACCESSION NUMBER:

2002:199098 USPATFULL Full-text

TITLE:

Tocopherols, tocotrienols, other chroman and side chain

derivatives and uses thereof

INVENTOR(S):

Sanders, Bob G., Austin, TX, UNITED STATES Kline, Kimberly, Austin, TX, UNITED STATES

Yu, Weiping, Austin, TX, UNITED STATES

PATENT INFORMATION:

NUMBER KIND DATE -----

US 2002107207 A1 20020808

US 6703384 B2 20040309
APPLICATION INFO.: US 2001-8066 A1 20011105 (10)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2000-502592, filed

on 11 Feb 2000, PENDING Continuation-in-part of Ser. No. US 1999-404001, filed on 23 Sep 1999, PENDING

NUMBER DATE

PRIORITY INFORMATION:

-----US 1998-101542P . 19980923 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE: Benjamin Aaron Adler, ADLER & ASSOCIATES, 8011 Candle

Lane, Houston, TX, 77071

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

24

NUMBER OF DRAWINGS:

12 Drawing Page(s)

LINE COUNT:

2606

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides an antiproliferative compound having a structural formula ##STR1##

where X and Y independently are oxygen, nitrogen or sulfur; R.sup.1 is alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxylic acid, carboxylate, carboxamide, ester, thioamide, thiolacid, thiolester, saccharide, alkoxy-linked saccharide, amine, sulfonate, sulfate, phosphate, alcohol, ethers or nitrites; R.sup.2 and R.sup.3 are hydrogen or R.sup.4; R.sup.4 is methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide or amine; and R.sup.5 is alkenyl; where when Y is nitrogen, said nitrogen is substituted with R.sup.6, wherein R.sup.6 is hydrogen or methyl. Also provided are methods for treating a cell proliferative disease and for inducing apoptosis in a cell comprising administering this compound is also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 15 OF 16 USPATFULL on STN

ACCESSION NUMBER: 2002:168253 USPATFULL Full-text

TITLE: Tocopherols, tocotrienols, other chroman and side chain

derivatives and uses therof

INVENTOR(S): Sanders, Bob G., Austin, TX, United States

Kline, Kimberly, Austin, TX, United States Hurley, Laurence, Austin, TX, United States Gardner, Robb, Austin, TX, United States Menchaca, Marla, Austin, TX, United States Yu, Weiping, Austin, TX, United States

Ramanan, Puthucode N., Austin, TX, United States

Liu, Shenquan, Austin, TX, United States Israel, Karen, Austin, TX, United States

PATENT ASSIGNEE(S): Research Development Foundation, Carson City, NV,

United States (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 6417223 B1 20020709 APPLICATION INFO.: US 1999-404001 19990923 (9)

NUMBER DATE

PRIORITY INFORMATION: US 1998-101542P 19980923 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Wilson, James O. ASSISTANT EXAMINER: Maier, Leigh C.

LEGAL REPRESENTATIVE: Adler, Benjamin Aaron NIMBER OF CLAIMS: 3

NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 14 Drawing Figure(s); 14 Drawing Page(s)

LINE COUNT: 1959

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides an antiproliferative compound having the structural formula ##STR1##

wherein X is oxygen, nitrogen or sulfur; R.sup.1 is alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxylic acid, carboxylate, carboxamide, ester, thioamide, thiolacid, thiolester, saccharide, alkoxy-linked saccharide, amine, sulfonate, sulfate, phosphate, alcohol, ethers and nitriles; R.sup.2 is hydrogen, methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide and amine; R.sup.3 is selected from the group consisting of hydrogen, methyl, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzylester, saccharide and amine; R.sup.4 is of methyl, benzyl carboxylic acid, benzyl

carboxamide, benzylester, saccharide and amine; and R.sup.5 is alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxyl, amide and ester. Also provided is a method for inducing apoptosis in a cell comprising administering a composition comprising a compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 16 OF 16 USPATFULL on STN

ACCESSION NUMBER: 2000:138398 USPATFULL Full-text

TITLE:

Method of suppressing tumor growth with combinations of

isoprenoids and statins

INVENTOR(S): Elson, Charles E., Madison, WI, United States

PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, Madison, WI,

United States (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION:

US 6133312 20001017

APPLICATION INFO.: US 1998-27546

19980223 (9)

NUMBER DATE

PRIORITY INFORMATION:

US 1997-39790P 19970304 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

Granted

PRIMARY EXAMINER:

Goldberg, Jerome D.

NUMBER OF CLAIMS:

LEGAL REPRESENTATIVE: Quarles & Brady LLP

EXEMPLARY CLAIM:

11

NUMBER OF DRAWINGS:

3 Drawing Figure(s); 4 Drawing Page(s)

LINE COUNT:

1104

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of inhibiting the growth of tumor cells is disclosed. In one embodiment, this method comprises the step of exposing tumor cells to an effective amount of a composition comprising at least two compounds selected from the group consisting of tocotrienols, statins and ionones.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d his

(FILE 'HOME' ENTERED AT 11:59:27 ON 30 JAN 2007)

FILE 'REGISTRY' ENTERED AT 11:59:45 ON 30 JAN 2007

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 0 S L1 FULL

L4 0 S L1 SSS FULL

L5 STRUCTURE UPLOADED

L6 900 S L5 FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 12:06:59 ON 30 JAN 2007

L7 1190 S L6

L8 1040 S L7 NOT PY>2004

L9 16 S L8 AND "PROSTATE CANCER"

L10 12 S L8 AND "ANDROGEN"

=> s 18 and "antiandrogen or antiandrogenic"

L11 0 L8 AND "ANTIANDROGEN OR ANTIANDROGENIC"

=> s 18 and "androgen antagonist"

2 L8 AND "ANDROGEN ANTAGONIST" L12

=> d 112 1-2 ibib, abs, hitstr

L12 ANSWER 1 OF 2 MEDLINE on STN

ACCESSION NUMBER: 2003400986 MEDLINE Full-text

PubMed ID: 12939470 DOCUMENT NUMBER:

TITLE: Androgen antagonist activity by the

antioxidant moiety of vitamin E, 2,2,5,7,8-pentamethyl-6-

chromanol in human prostate carcinoma cells.

Thompson Todd A; Wilding George AUTHOR:

University of Wisconsin Comprehensive Cancer Center, CORPORATE SOURCE:

University of Wisconsin-Madison, Madison, Wisconsin 53792,

USA.

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Antioxidants, such as vitamin E, are being investigated for efficacy in ΑB prostate cancer prevention. In this study, we show that the antioxidant moiety of vitamin E, 2,2,5,7,8-pentamethyl-6-chromanol (PMCol), has antiandrogen activity in prostate carcinoma cells. In the presence of PMCol, the androgen-stimulated biphasic growth curve of LNCaP human prostate carcinoma cells was shifted to the right. The PMCol-induced growth shift was similar to that produced by treatment with the pure antiandrogen bicalutamide (i.e., Casodex), indicative of androgen receptor (AR) antagonist activity. The concentration of PMCol used was below the concentration required to affect cell growth or viability in the absence of androgen. Using an AR binding competition assay, PMCol was found to be a potent antiandrogen in both LNCaP and LAPC4 cells, with an IC(50) of approximately 10 micro M against 1 nM R1881 (methyltrienolone; a stable, synthetic androgen). Prostate-specific antigen release from LNCaP cells produced by androgen exposure with either 0.05 or 1.0 nM R1881 was inhibited 100% and 80%, respectively, by 30 micro M PMCol. Also, PMCol inhibited androgen-induced promoter activation in both LNCaP and LAPC4 cells. However, PMCol did not affect AR protein levels, suggesting that the inhibitory effects of PMCol on androgenic pathways were not due to decreased expression of the AR. Therefore, growth modulation by the antioxidant moiety of vitamin E in androgen-sensitive prostate carcinoma cells is due, at least in part, to its potent antiandrogenic activity.

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TITLE:

Androgen Antagonist Activity by the Antioxidant Moiety of Vitamin E,

2,2,5,7,8-Pentamethyl-6-chromanol in Human Prostate

Carcinoma Cells

AUTHOR (S):

Thompson, Todd A.; Wilding, George

CORPORATE SOURCE:

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> RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(androgen antagonist activity by the antioxidant

moiety of vitamin E, 2,2,5,7,8-pentamethyl-6-chromanol in human prostate carcinoma cells)

RN 950-99-2 CAPLUS

2H-1-Benzopyran-6-ol, 3,4-dihydro-2,2,5,7,8-pentamethyl- (9CI) (CA INDEX CN NAME)

REFERENCE COUNT:

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